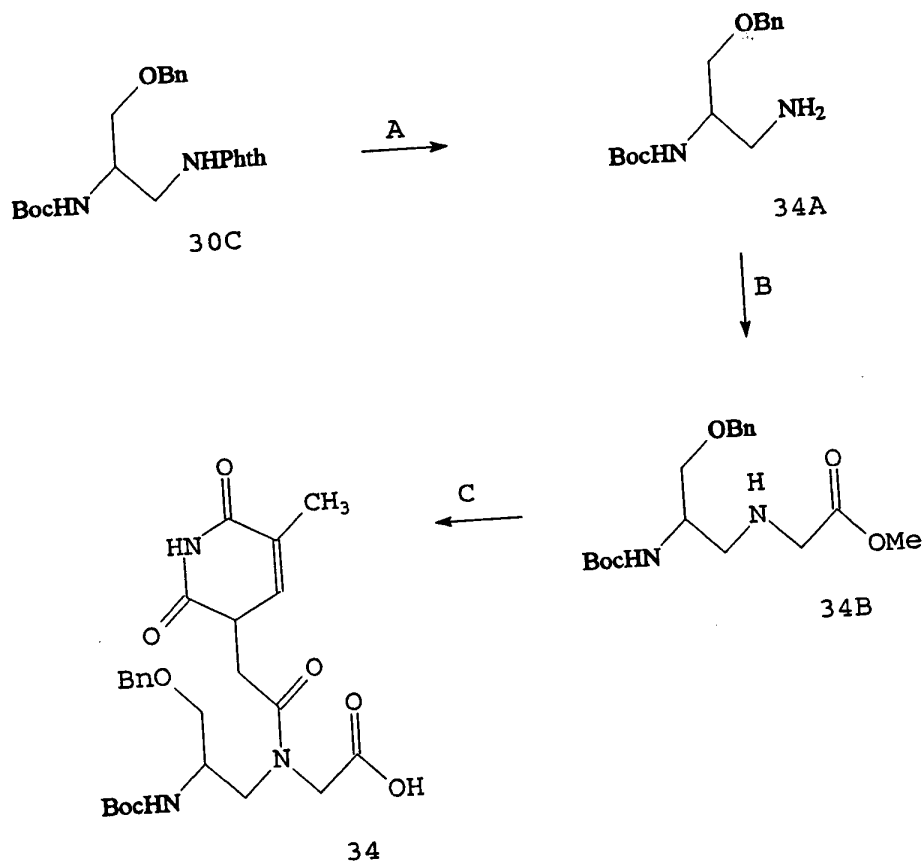


- A. Diazomethane, MeOH  
 B. LiBH<sub>4</sub>, MeOH  
 C. PPh<sub>3</sub>, Phthalimide, DEAD  
 D. TFA, CH<sub>2</sub>Cl<sub>2</sub>, NaHCO<sub>3</sub>, Methyl bromoacetate  
 E. 1-Thymineylacetylchloride  
 F. H<sub>2</sub>NNH<sub>2</sub>, Boc<sub>2</sub>O, NaOH, MBHA Lys resin

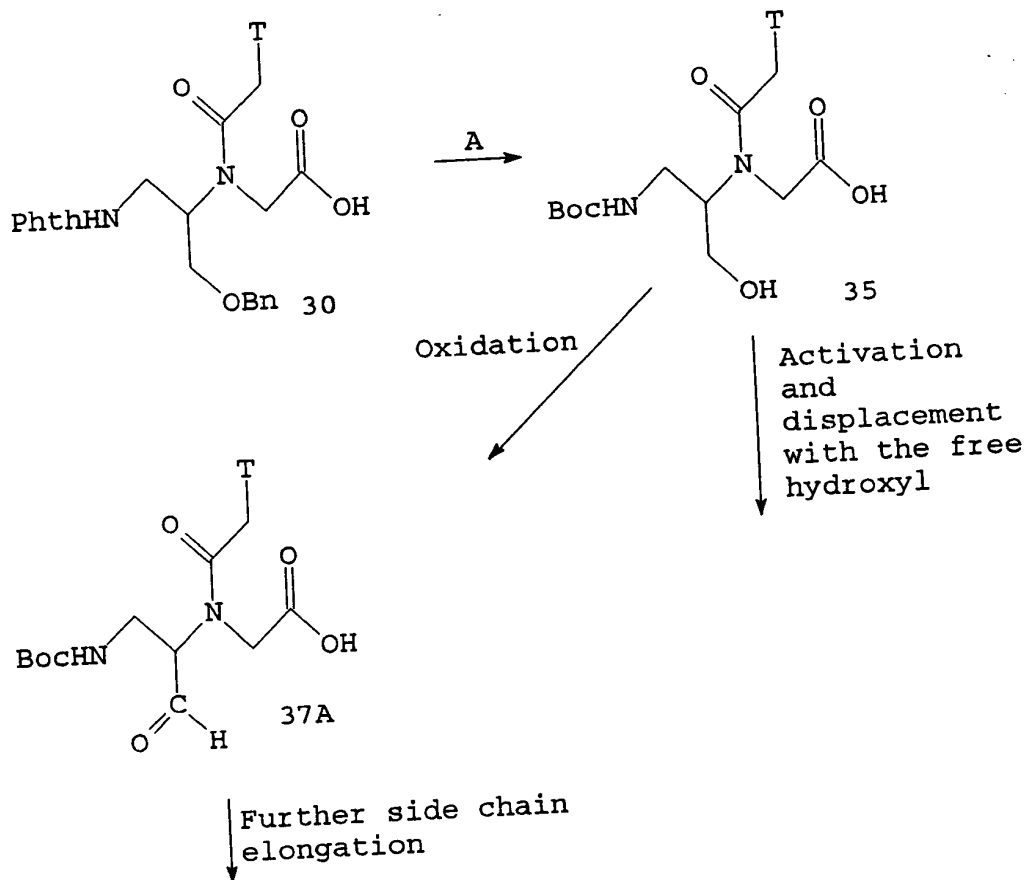
Figure 1



- A. Hydrazine, Ethanol  
 B. Methyl bromoacetate  
 C. 1-Thyminyllacetylchloride

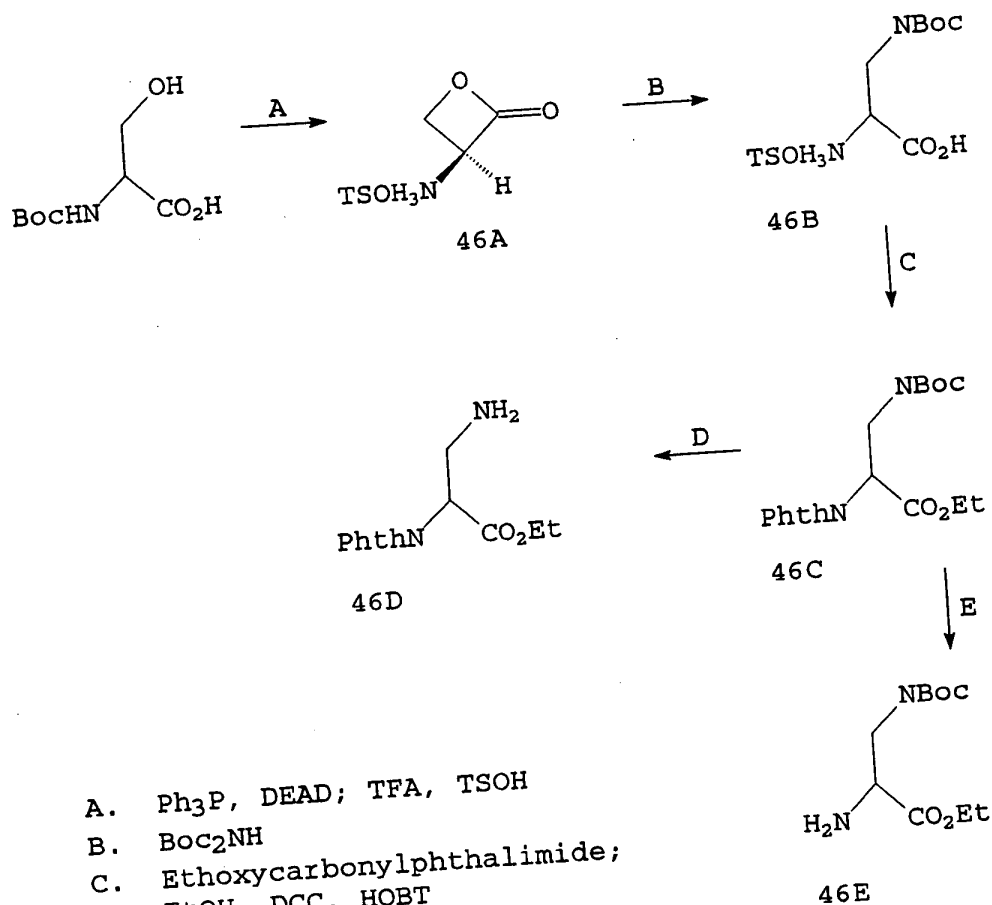
Figure 2

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A. H<sub>2</sub>NNH<sub>2</sub>, Boc<sub>2</sub>O/NaOH, LiBH<sub>4</sub>/MeOH

Figure 3

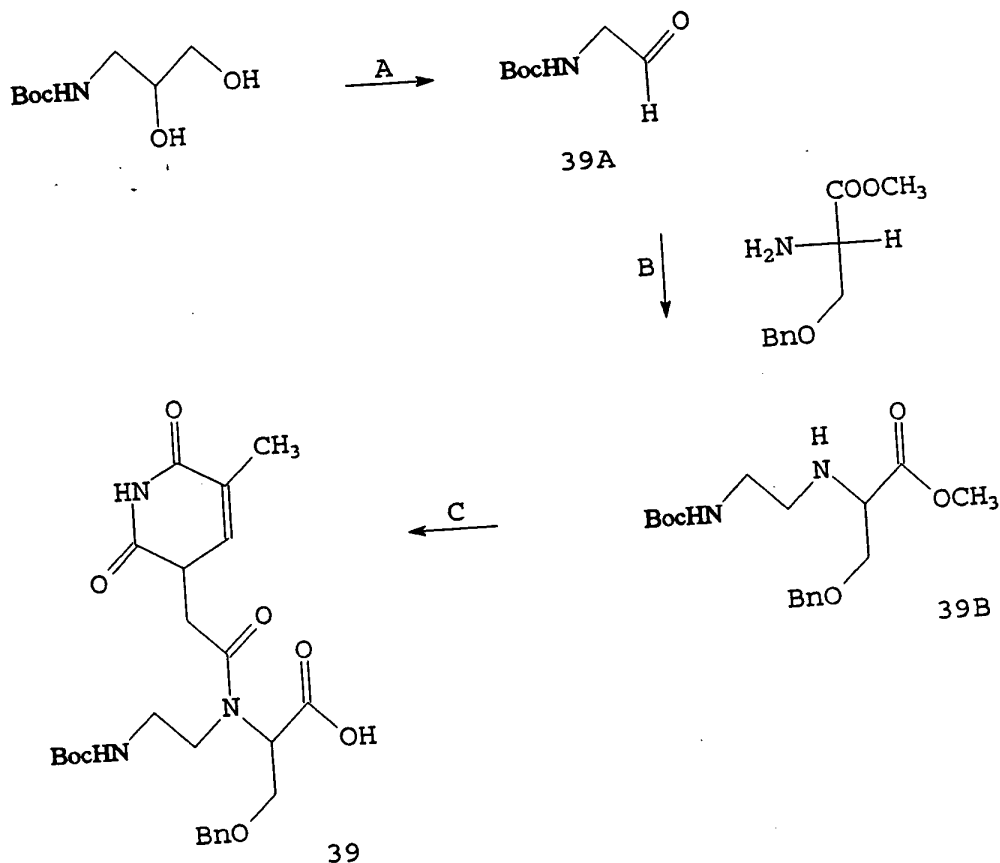


- A. Ph<sub>3</sub>P, DEAD; TFA, TSOH  
 B. Boc<sub>2</sub>NH  
 C. Ethoxycarbonylphthalimide;  
 EtOH, DCC, HOBT  
 D. TFA, DCM  
 E. H<sub>2</sub>NNH<sub>2</sub>

Figure 4

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- A. Potassium m-periodate  
 B. Glacial acetic acid, Sodium triacetoxyborohydride  
 C. N-1-Carboxymethylthymine (Compound 3), 1N NaOH

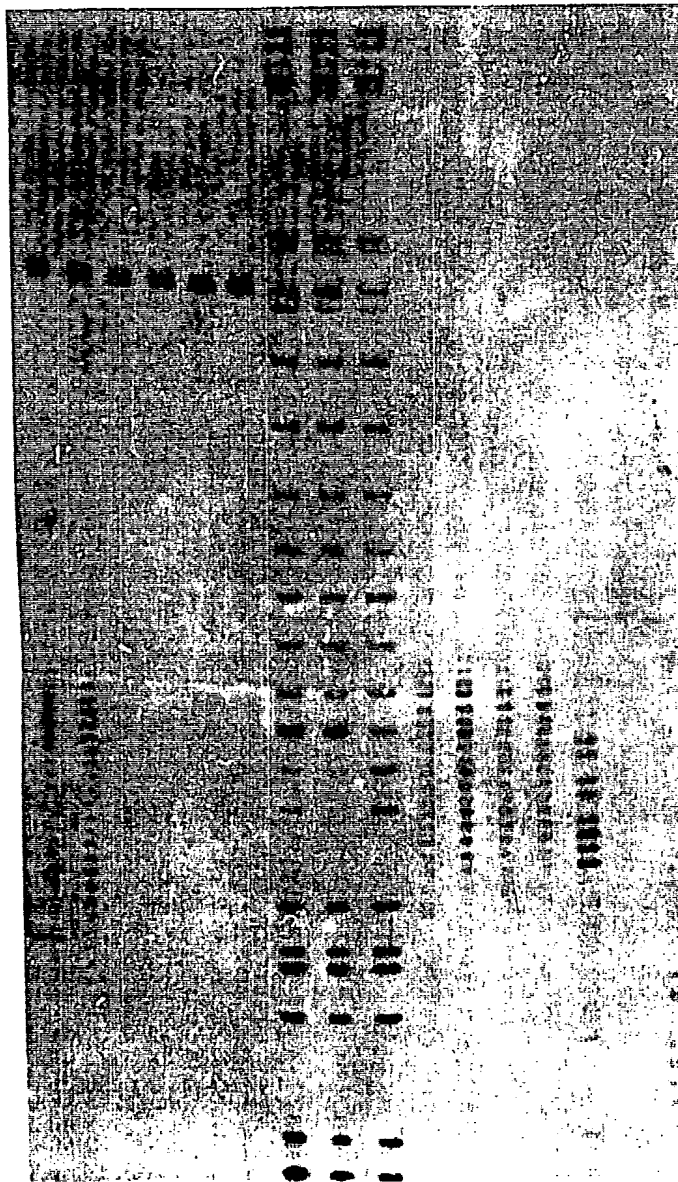
Figure 5

APPROVED	BY <b>JOHN A. HARRELSON, JR.</b>	
CLASS	SUBCLASS	
DRAFTSMAN	NOV 25 2002	

PATENT & TRADEMARK OFFICE

Docket No.: ISIS-1158  
 App No.: 08/319,411 Filed: October 6, 1994  
 Title: PEPTIDE NUCLEIC ACID CONJUGATES  
 Inventors: Nielsen et al.  
 Attorney: John A. Harrelson, Jr., Esq.  
 Attorney Phone: (215) 568-3100  
 Sheet 6 of 6

Seq.  
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16



PNA  
 Binding Site

FIG. 6